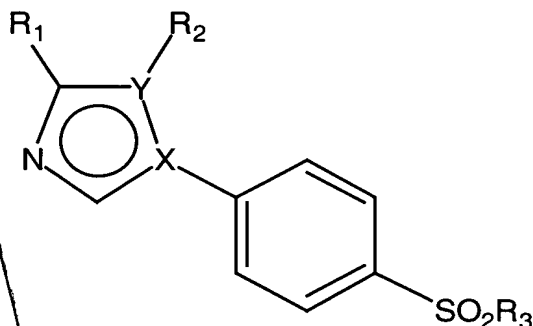


CLAIMS

1.- A compound of general formula I:



I

wherein:

one of X or Y represents N and the other represents C;

R₁ represents hydrogen, methyl, halogen, cyano, nitro, -CHO, -COCH₃ or -COOR₄;

10 R₂ represents aryl or heteroaryl optionally substituted with one or more groups independently selected from halogen, C₁₋₈ alkyl, C₁₋₈ haloalkyl, R₄OC₀₋₈ alkyl, R₄SC₀₋₈ alkyl, cyano, nitro, -NR₄R₆, -NR₄SO₂R₅, -SOR₅, -SO₂R₅, -SO₂NR₄R₆, or -CONR₄R₆;

R₃ represents C₁₋₈ alkyl, C₁₋₈ haloalkyl or -NR₄R₆;

15 R₄ represents hydrogen, C₁₋₈ alkyl, or arylC₀₋₈ alkyl (where the aryl group can be optionally substituted with one or more groups selected from C₁₋₈ alkyl, halogen, C₁₋₈ haloalkyl, cyano, nitro, R₇OC₀₋₈ alkyl, R₇SC₀₋₈ alkyl, -NR₇R₈, -NR₇COR₅, -COR₇ or -COOR₇);

R₅ represents C₁₋₈ alkyl or C₁₋₈ haloalkyl;

20 R₆ represents hydrogen, C₁₋₈ alkyl, arylC₁₋₈ alkyl (where the aryl group can be optionally substituted with one or more groups selected from C₁₋₈ alkyl, halogen, C₁₋₈ haloalkyl, cyano, nitro, R₇OC₀₋₈ alkyl, R₇SC₀₋₈ alkyl, -NR₇R₈, -NR₇COR₅, -COR₇ or -COOR₇), -COR₈ or -COOR₈;

R₇ represents hydrogen, C₁₋₈ alkyl or benzyl;

25 R₈ represents C₁₋₈ alkyl or C₁₋₈ haloalkyl;

aryl in the above definitions represents phenyl or naphthyl; and

heteroaryl in the above definitions represents pyridine, pyrazine, pyrimidine or pyridazine, which can be optionally fused to a benzene ring;

and the salts, solvates and prodrugs thereof.

2.- A compound according to claim 1 wherein R₁ represents halogen.

3.- A compound according to claim 2 wherein R₁ represents chloro.

4.- A compound according to claim 1 wherein R₂ represents phenyl or pyridine optionally substituted with one or more groups independently selected from halogen, C₁₋₈ alkyl, C₁₋₈ haloalkyl, R₄OC₀₋₈ alkyl, R₄SC₀₋₈ alkyl, cyano, nitro, -NR₄R₆, -NR₄SO₂R₅, -SOR₅, -SO₂R₅, -SO₂NR₄R₆, or -CONR₄R₆.

5.- A compound according to claim 1 wherein R₃ represents methyl or -NH₂.

6.- A compound according to claim 1 wherein X represents N.

10 7.- A compound according to claim 5 wherein R₁ represents halogen.

8.- A compound according to claim 5 wherein R₁ represents chloro.

9.- A compound according to claim 7 or 8 wherein X represents N.

10.- A compound according to claim 9 wherein R₂ represents phenyl or pyridine optionally substituted with one or more groups independently selected from halogen, C₁₋₈ alkyl, C₁₋₈ haloalkyl, R₄OC₀₋₈ alkyl, R₄SC₀₋₈ alkyl, cyano, nitro, -NR₄R₆, -NR₄SO₂R₅, -SOR₅, -SO₂R₅, -SO₂NR₄R₆, or -CONR₄R₆.

11.- A compound according to claim 1 selected from:

5-(4-fluorophenyl)-1-(4-methylsulfonylphenyl)imidazole;

5-(4-methylphenyl)-1-(4-methylsulfonylphenyl)imidazole;

20 5-(2,4-difluorophenyl)-1-(4-methylsulfonylphenyl)imidazole;

1-(4-methylsulfonylphenyl)-5-phenylimidazole;

5-(3,4-dichlorophenyl)-1-(4-methylsulfonylphenyl)imidazole;

5-(4-methoxyphenyl)-1-(4-methylsulfonylphenyl)imidazole;

5-(3-fluoro-4-methoxyphenyl)-1-(4-methylsulfonylphenyl)imidazole;

25 5-(3-fluorophenyl)-1-(4-methylsulfonylphenyl)imidazole;

5-(3-fluoro-4-methylphenyl)-1-(4-methylsulfonylphenyl)imidazole;

5-(2-fluorophenyl)-1-(4-methylsulfonylphenyl)imidazole;

1-(4-methylsulfonylphenyl)-5-(4-trifluoromethoxyphenyl)imidazole;

5-(6-methyl-3-pyridyl)-1-(4-methylsulfonylphenyl)imidazole;

30 5-(2-fluoro-4-methoxyphenyl)-1-(4-methylsulfonylphenyl)imidazole;

5-(3-chloro-4-methylphenyl)-1-(4-methylsulfonylphenyl)imidazole;

5-(3-methoxy-4-methylphenyl)-1-(4-methylsulfonylphenyl)imidazole;

5-(4-chlorophenyl)-1-(4-methylsulfonylphenyl)imidazole;

5-(6-chloro-3-pyridyl)-1-(4-methylsulfonylphenyl)imidazole;

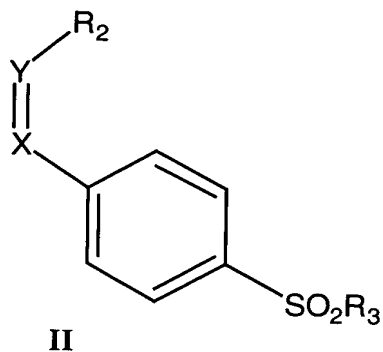
- 5-(2,6-dichloro-3-pyridyl)-1-(4-methylsulfonylphenyl)imidazole;
5-(2-chloro-6-methoxy-3-pyridyl)-1-(4-methylsulfonylphenyl)imidazole;
5-(5,6-dichloro-3-pyridyl)-1-(4-methylsulfonylphenyl)imidazole;
1-(4-methylsulfonylphenyl)-5-(4-propoxyphenyl)imidazole;
5-(3,5-diethoxyphenyl)-1-(4-methylsulfonylphenyl)imidazole;
5-(4-ethoxyphenyl)-1-(4-methylsulfonylphenyl)imidazole;
1-(4-methylsulfonylphenyl)-5-(4-nitrophenyl)imidazole;
5-(4-methylsulfonylphenyl)-1-(4-methylsulfonylphenyl)imidazole;
5-(4-ethylsulfonylphenyl)-1-(4-methylsulfonylphenyl)imidazole;
5-(4-dimethylaminophenyl)-1-(4-methylsulfonylphenyl)imidazole;
1-(4-fluorophenyl)-5-(4-methylsulfonylphenyl)imidazole;
5-(4-fluorophenyl)-4-methyl-1-(4-methylsulfonylphenyl)imidazole;
4-chloro-5-(4-fluorophenyl)-1-(4-methylsulfonylphenyl)imidazole;
4-chloro-5-(4-methylphenyl)-1-(4-methylsulfonylphenyl)imidazole;
4-chloro-5-(2,4-difluorophenyl)-1-(4-methylsulfonylphenyl)imidazole;
4-chloro-1-(4-methylsulfonylphenyl)-5-phenylimidazole;
4-chloro-5-(3,4-dichlorophenyl)-1-(4-methylsulfonylphenyl)imidazole;
4-chloro-5-(4-methoxyphenyl)-1-(4-methylsulfonylphenyl)imidazole;
4-chloro-5-(3-fluoro-4-methoxyphenyl)-1-(4-methylsulfonylphenyl)imidazole;
4-chloro-5-(3-fluorophenyl)-1-(4-methylsulfonylphenyl)imidazole;
4-chloro-5-(3-fluoro-4-methylphenyl)-1-(4-methylsulfonylphenyl)imidazole;
4-chloro-5-(2-fluorophenyl)-1-(4-methylsulfonylphenyl)imidazole;
4-chloro-1-(4-methylsulfonylphenyl)-5-(4-trifluoromethoxyphenyl)imidazole;
4-chloro-5-(6-methyl-3-pyridyl)-1-(4-methylsulfonylphenyl)imidazole;
4-chloro-5-(2-fluoro-4-methoxyphenyl)-1-(4-methylsulfonylphenyl)imidazole;
4-chloro-5-(3-chloro-4-methylphenyl)-1-(4-methylsulfonylphenyl)imidazole;
4-chloro-5-(3-methoxy-4-methylphenyl)-1-(4-methylsulfonylphenyl)imidazole;
4-chloro-5-(4-chlorophenyl)-1-(4-methylsulfonylphenyl)imidazole;
4-chloro-5-(6-chloro-3-pyridyl)-1-(4-methylsulfonylphenyl)imidazole;
4-chloro-5-(2,6-dichloro-3-pyridyl)-1-(4-methylsulfonylphenyl)imidazole;
4-chloro-5-(2-chloro-6-methoxy-3-pyridyl)-1-(4-methylsulfonylphenyl)imidazole;
4-chloro-5-(5,6-dichloro-3-pyridyl)-1-(4-methylsulfonylphenyl)imidazole;
4-chloro-1-(4-methylsulfonylphenyl)-5-(4-propoxyphenyl)imidazole;
4-chloro-5-(3,5-diethoxyphenyl)-1-(4-methylsulfonylphenyl)imidazole;

- 4-chloro-5-(4-ethoxyphenyl)-1-(4-methylsulfonylphenyl)imidazole;
 4-chloro-1-(4-methylsulfonylphenyl)-5-(4-nitrophenyl)imidazole;
 4-chloro-5-(4-methylsulfonylphenyl)-1-(4-methylsulfonylphenyl)imidazole;
 4-chloro-5-(4-ethylsulfonylphenyl)-1-(4-methylsulfonylphenyl)imidazole;
 5 4-chloro-5-(6-ethoxy-3-pyridyl)-1-(4-methylsulfonylphenyl)imidazole;
 4-bromo-5-(4-fluorophenyl)-1-(4-methylsulfonylphenyl)imidazole;
 1-(4-fluorophenyl)-2-methyl-5-(4-methylsulfonylphenyl)imidazole;
 2-chloro-1-(4-fluorophenyl)-5-(4-methylsulfonylphenyl)imidazole;
 1-(4-fluorophenyl)-5-(4-methylsulfonylphenyl)imidazol-2-carboxaldehyde;
 10 methyl 1-(4-fluorophenyl)-5-(4-methylsulfonylphenyl)imidazol-2-carboxylate;
 2-bromo-1-(4-fluorophenyl)-5-(4-methylsulfonylphenyl)imidazole;
 1-(4-fluorophenyl)-5-(4-methylsulfonylphenyl)imidazol-2-carbonitrile;
 2-chloro-5-(4-methylsulfonylphenyl)-1-phenylimidazole;
 2-chloro-1-(4-methylphenyl)-5-(4-methylsulfonylphenyl)imidazole;
 15 4-[4-chloro-5-(4-fluorophenyl)imidazol-1-yl]benzenesulfonamide;
 4-(4-chloro-5-phenylimidazol-1-yl)benzenesulfonamide;
 4-[4-chloro-5-(3,4-dichlorophenyl)imidazol-1-yl]benzenesulfonamide;
 4-[4-chloro-5-(4-methylphenyl)imidazol-1-yl]benzenesulfonamide;
 4-[4-chloro-5-(4-ethoxyphenyl)imidazol-1-yl]benzenesulfonamide;
 20 4-[4-chloro-5-(3-fluoro-4-methoxyphenyl)imidazol-1-yl]benzenesulfonamide;
 4-[4-chloro-5-(6-chloro-3-pyridyl)imidazol-1-yl]benzenesulfonamide;
 4-[5-(4-fluorophenyl)imidazol-1-yl]benzenesulfonamide;
 5-(4-aminophenyl)-4-chloro-1-(4-methylsulfonylphenyl)imidazole;
 5-(6-ethoxy-3-pyridyl)-1-(4-methylsulfonylphenyl)imidazole;
 25 4-chloro-5-(4-dimethylaminophenyl)-1-(4-methylsulfonylphenyl)imidazole;
 5-(3-chloro-4-dimethylaminophenyl)-1-(4-methylsulfonylphenyl)imidazole;
 4-chloro-5-(3-chloro-4-dimethylaminophenyl)-1-(4-methylsulfonylphenyl)imidazole;
 5-(4-acetylaminophenyl)-4-chloro-1-(4-methylsulfonylphenyl)imidazole;
 5-(4-ethylsulfonylphenyl)-1-(4-methylsulfonylphenyl)imidazole;
 30 5-(4-ethylsulfonylphenyl)-1-(4-methylsulfonylphenyl)imidazole;
 or a salt, solvate or prodrug thereof.

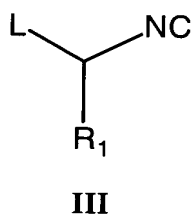
12.- A process for preparing a compound of formula I according to claim 1 which comprises:

(a) when in a compound of formula I R_1 represents hydrogen or methyl, reacting

an imine of formula II

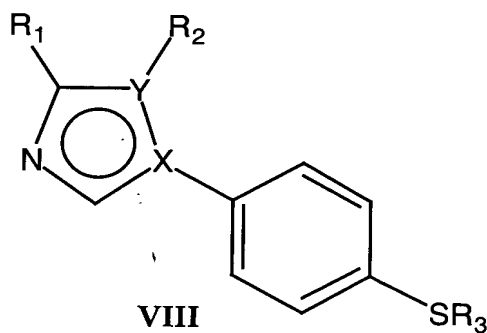


5 wherein X, Y, R₂ and R₃ are as defined in claim 1, with an isocyanide of formula III



wherein R₁ represents hydrogen or methyl and L represents a good leaving group;
or

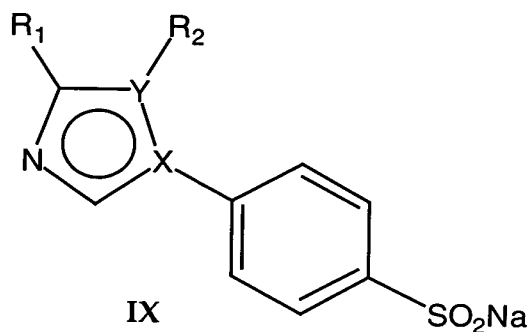
10 (b) when in a compound of formula I R₃ represents C₁₋₈ alkyl or C₁₋₈ haloalkyl, oxidizing a thioether of formula VIII,



15 wherein R₃ represents C₁₋₈ alkyl or C₁₋₈ haloalkyl and X, Y, R₁ and R₂ are as defined in claim 1, with a suitable oxidizing agent; or

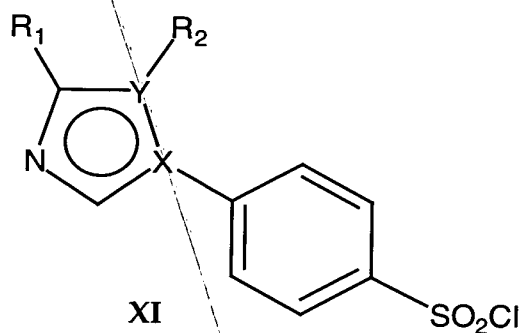
(c) when in a compound of formula I R₃ represents -NH₂, reacting a compound of

formula IX



wherein X, Y, R₁ and R₂ are as defined in claim 1, with hydroxylamine-O-sulfonic acid; or

(d) when in a compound of formula I R₃ represents -NR₄R₆, reacting a compound of formula XI



wherein X, Y, R₁ and R₂ are as defined in claim 1, with an amine of formula HNR₄R₆; or

(e) when in a compound of formula I R₁ represents halogen and X represents N, reacting a compound of formula I wherein R₁ represents hydrogen with a suitable halogenating agent;

(f) when in a compound of formula I R₁ represents halogen and Y represents N, reacting a compound of formula I wherein R₁ represents hydrogen with a strong base and a suitable halogenating agent;

(g) converting, in one or a plurality of steps, a compound of formula I into another compound of formula I; and

(h) if desired, after the above steps, reacting a compound of formula I with an acid

sub
a3
cont

to give the corresponding addition salt.

- 13.- A pharmaceutical composition which comprises an effective amount of a compound of formula I according to claim 1 or a pharmaceutically acceptable salt, solvate or prodrug thereof in admixture with one or more pharmaceutically acceptable excipients.
- 14.- Use of a compound of formula I according to claim 1 or a pharmaceutically acceptable salt, solvate or prodrug thereof for the manufacture of a medicament for the treatment or prevention of diseases mediated by cyclooxygenase.
- 15.- Use of a compound of formula I according to claim 1 or a pharmaceutically acceptable salt, solvate or prodrug thereof for the manufacture of a medicament for the treatment or prevention of diseases mediated by cyclooxygenase-2.
- 16.- Use of a compound of formula I according to claim 1 or a pharmaceutically acceptable salt, solvate or prodrug thereof for the manufacture of a medicament for the treatment of inflammation, pain and/or fever.
- 17.- Use of a compound of formula I according to claim 1 or a pharmaceutically acceptable salt, solvate or prodrug thereof for the manufacture of a medicament for inhibiting prostanoid-induced smooth muscle contraction.
- 18.- Use of a compound of formula I according to claim 1 or a pharmaceutically acceptable salt, solvate or prodrug thereof for the manufacture of a medicament for the treatment or prevention of dysmenorrhea, preterm labour, asthma and bronchitis.
- 19.- Use of a compound of formula I according to claim 1 or a pharmaceutically acceptable salt, solvate or prodrug thereof for the manufacture of a medicament for the treatment or prevention of cancer.
- 20.- Use according to claim 19 wherein the cancer is a gastrointestinal cancer.
- 21.- Use according to claim 20 wherein the gastrointestinal cancer is colon cancer.
- 22.- Use of a compound of formula I according to claim 1 or a pharmaceutically acceptable salt, solvate or prodrug thereof for the manufacture of a medicament for the treatment or prevention of cerebral infarction, epilepsy, and neurodegenerative diseases such as Alzheimer's disease and dementia.

add
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